

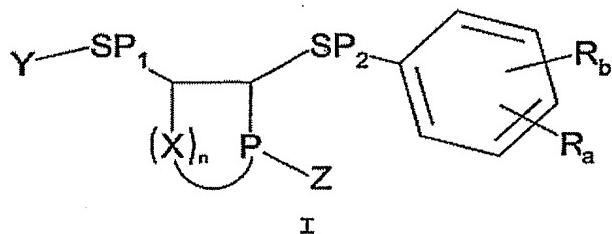
Application No. 10/575,025
Paper Dated: April 3, 2008
In Reply to USPTO Correspondence of January 3, 2008
Attorney Docket No. 0115-061069

AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application.

Listing of Claims

1. (Currently Amended): A compound according to formula I



wherein

P represents -N< or C=,

X represents independently of each other ~~CH₂, CR₁ (Sp₂-hybridised), O, NH, =N, CO or CS~~, wherein R₁ represents H or NR₂, wherein R₂ represents H or lower alkyl, which optionally is linked to Z such that a bicyclic structure is formed;

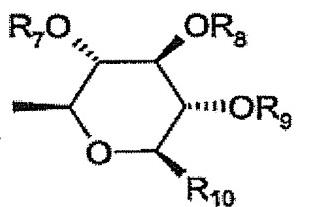
(X)_n represents -OOC-, -COO-, -CONH-, -CH=N-;

n represents 1 or 2;

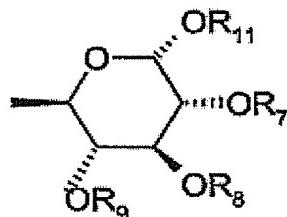
R_a represents H, lower alkyl, -OR₃, -O(CO)R₃, -O(CO)OR₃, -O(CO)NR₃R₄, -N₃R₄, -NR₃(CO)R₄, -COOR₃, -CONR₃R₄, -CH=CHCOOR₃, -CF₃, -CN, -NO₂, SO₃H, PO₃H or halogen, wherein R₃ and R₄ represent H or lower alkyl,

R_b represents H, OH, -OSO₂Me, -OSO₂W wherein W represents optionally substituted aryl or heteroaryl, -OCO(CHOH)₂COOR₅ wherein R₅ represents H or lower alkyl; or represents the formula -Sp₃-R₆,

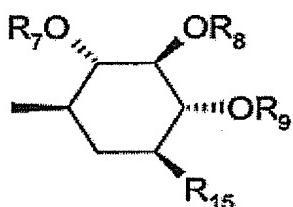
wherein Sp₃ represents a covalent bond, -O-, -OCH₂-, -OSO₂CH₂-, -OSO₂-, -OSO₂-(p)C₆H₄O- and R₆ represents one of carbohydrate structures A-D:



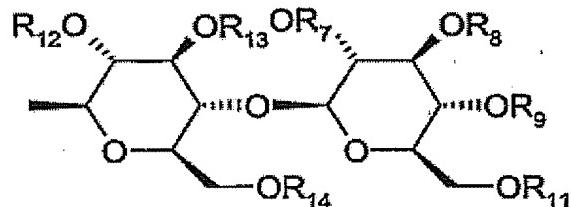
A



B



C



D

wherein

R₇, R₈, R₉, R₁₁, R₁₂, R₁₃ and R₁₄ represent independently of each other H, lower alkyl, aryl(lower alkyl), -CO-lower alkyl, -CO-aryl, -SO₃⁻ or -PO₃⁻,

R₁₀ represents -CH₂OR₁₆ or -COOR₁₇, and

R₁₅ represents -CH₂OR₁₆, -COOR₁₇, -CH₂NH₂, -CH₂OPO₃⁻ or -CH₂OSO₃⁻, wherein R₁₆ and R₁₇ independently of each other represent H, lower alkyl, aryl (lower alkyl), -CO-lower alkyl, -CO-aryl, -SO₃⁻ or -PO₃⁻,

Z represents optionally substituted aryl or heteroaryl,

Sp₁ represents a ~~spacer unit, such as a straight chain or branched lower alkyl group -(CH₂)_p-~~, wherein p is from 2-6, which is unsubstituted, mono or poly- substituted by -OH, -OR₁₈, halogen or cyano group, wherein one or more -CH₂- groups may independently be replaced by -O-, -CO-, -CO-O-, -O-CO-, -NR₁₉-, -NR₁₉-CO-, -CO-NR₁₉ -, -CH=CH-, -C≡C- and wherein R₁₈ and R₁₉ represent a hydrogen atom or lower alkyl;

Sp₂ represents an ~~optional spacer unit, such as a covalent bond or a straight chain or branched lower alkyl group -(CH₂)_q-~~, wherein q is from 1-6, which is unsubstituted, mono or poly-substituted by -OH, -OR₂₀, halogen or cyano group, wherein one or

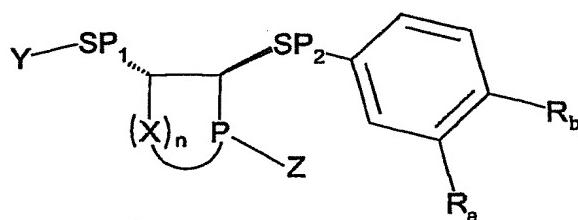
more -CH₂- groups may independently be replaced by -O-, -CO-, --CO-O-, -O-CO-, -NR₂₁-, -NR₂₁-CO-, -CO-NR₂₁-, -CH=CH-, -C≡C- and wherein R₂₀, and R₂₁, represents a hydrogen atom or lower alkyl;

Y represents optionally substituted aryl or heteroaryl;

~~with the proviso, that if P = N<, n=1, X = CO and Sp₂ represents a covalent bond, R₆ may not represent carbohydrate structures A or D for Sp₃ = O and R₆ may not represent carbohydrate B for Sp₃ = OCH₂.~~

2 - 6. (Cancelled).

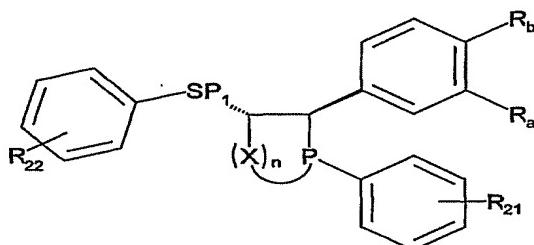
7. (Currently Amended): A compound according to claim 1, having the formula IVa



Iva

wherein P is -N= and (X)_n is -OOC-, -COO-, -CONH, -CH=N-, and wherein R_a, R_b, Sp₁, Sp₂, P, X, Y, Z and n are as defined in claim 1.

8. (Currently Amended): A compound according to claim 1 having the formula IVb,



IVb

wherein P is $-N=$ and $(X)_n$ is $-OOC-$, $-COO-$, $-CONH-$, $-CH=N-$, and

wherein R_a , R_b , Sp_1 , P , X and n are as defined hereinabove and wherein R_{21} and R_{22} represent H, lower alkyl, lower alkoxy or halogen.

9 -12. (Cancelled).

13. (Previously Presented): A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 with a pharmaceutically acceptable carrier.

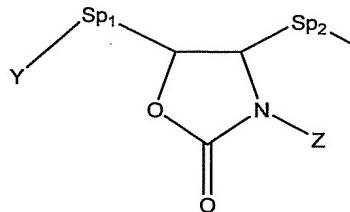
14. (Original): A pharmaceutical composition according to claim 13 for the treatment or prevention of arteriosclerosis or for the reduction of cholesterol levels.

15. (Original): A kit comprising a pharmaceutical composition according to claim 13 for use in the treatment or prevention of arteriosclerosis or for the reduction of cholesterol levels.

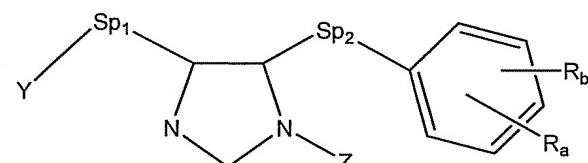
16. (Previously Presented): A method for the treatment or prevention of arteriosclerosis or for the reduction of cholesterol levels comprising administering to a subject in need of such treatment an effective amount of a compound according to claim 1.

17. (Cancelled)

18. (New) A compound according to claim 1 having the formula IIIa or formula IIId



IIIa



IIIId

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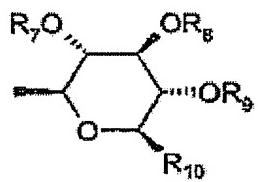
wherein,

R_a represents H straight chain or branched C(1-8)alkyl, -OR₃, -NR₃R₄, -COOR₃, -CONR₃R₄, -CH=CHCOOR₃, -CF₃, -CN, -NO₂, SO₃H, PO₃H or halogen, wherein R₃ and R₄ represent independently of each other H or straight chain or branched C(1-8)alkyl,

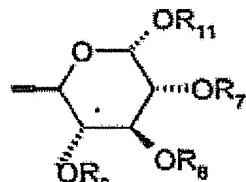
R_b represents H, OH, -OSO₂Me, -OSO₂W wherein W represents optionally substituted aryl or heteroaryl, OCO(CHOH)₂COOR₅ wherein R₅ represents H or straight chain or branched C(1-8)alkyl; or represents the formula -Sp₃- R₆,

wherein Sp₃ represents a covalent bond, -O-, -OCH₂-, -OSO₂CH₂-, -OSO₂-

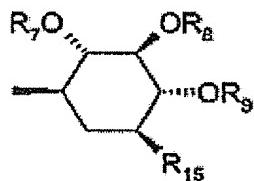
(p)C₆H₄O- and R₆ represents one of carbohydrate structures A-D:



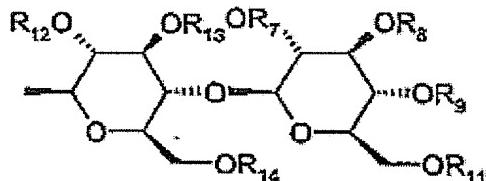
A



B



C



D

wherein,

R₇, R₈, R₉, R₁₁, R₁₂, R₁₃ and R₁₄ represent independently of each other H, straight chain or branched C(1-8)alkyl, aryl(C(1-8)alkyl), -CO-(C(1-8)alkyl), -CO-aryl, -SO₃- or -PO₃²⁻,

R₁₀ represents -CH₂OR₁₆ or -COOR₁₇, and

R₁₅ represents -CH₂OR₁₆, COOR₁₇, -CH₂NH₂-, CH₂OPO₃²⁻ or -CH₂OSO₃²⁻,

wherein

R₁₆ and R₁₇ independently of each other represents H, straight chain or branched C(1-8)alkyl, aryl (C(1-8)alkyl), -CO-(C(1-8)alkyl), -CO-aryl, -SO₃- or -PO₃²⁻,

Z represents optionally substituted aryl,

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- Sp₁ represents a straight chain or branched alkyl group -(CH₂)_p-, wherein p is from 2-6, which is unsubstituted, mono or poly-substituted by -OH, -OR₁₈, halogen or cyano group, wherein one or more -CH₂- groups may independently be replaced by -O-, -CO-, -CO-O-, -O-CO-, -NR₁₉-, -NR₁₉-CO-, -CO-NR₁₉-, -CH=CH-, -C≡C-, -and wherein R₁₈ and R₁₉ represent a hydrogen atom or straight chain or branched C(1-8)alkyl;
- Sp₂ represents a covalent bond;
- Y represents optionally substituted aryl.

19. (New) A compound according to claim 18 wherein R_a is in the meta-position and R_b is in the para-position.

20. (New) A compound according to claim 18 wherein R_a is H, straight chain or branched C(1-8)alkyl, -OR₃, -NR₃R₄, -COOR₃, -CONR₃R₄ or halogen, wherein R₃ and R₄ represent independently of each other H or straight chain or branched C(1-8)alkyl.

21. (New) A compound according to claim 18 wherein R_b is H, OH, -OSO₂Me, OSO₂Ph; or the formula -Sp₃-R₆, wherein Sp₃, preferably represents a covalent bond, -O-, -OCH₂- or -OSO₂CH₂- and R₆ represents one of carbohydrate structures A-D, preferably carbohydrate structures A, B or D.

22. (New) A compound according to claim 18 wherein Sp₁ represents a lower alkyl -CH₂)_m- group, which is unsubstituted, mono or poly-substituted by -OH, -OR₁₈, halogen or cyano group, wherein R₁₈ represents hydrogen or straight chain or branched C(1-8) alkyl and m is 1 to 3.

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23. (New) A compound according to claim 18 wherein Y represents an optionally substituted phenyl group.

24. (New) A compound according to claim 18 wherein Z represents an optionally substituted phenyl group.

25. (New) A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 18 with a pharmaceutically acceptable carrier.

26. (New) A pharmaceutical composition according to claim 25 for the treatment or prevention of arteriosclerosis or for the reduction of cholesterol levels.

27. (New) A kit comprising a pharmaceutical composition according to claim 25 for use in the treatment or prevention of arteriosclerosis or for the reduction of cholesterol levels.

28. (New) A method for the treatment or prevention of arteriosclerosis or for the reduction of cholesterol levels comprising administering, to a subject in need of such treatment, an effective amount of a compound according to claim 18.